AMENDMENTS TO THE CLAIMS

1-45. (Cancelled)

46. (Currently amended) A method of inhibiting plasma kallikrein and/or factor XIa and/or factor XIIa, said method comprising administering to a patient in need thereof an acylated 4-amidino- or 4-guanidinobenzylamine according to the general formula I

P4-P3-P2-P1 (I),

where

P4 is a monosubstituted or polysubstituted or unsubstituted benzylsulfonyl group;

P3 is a monosubstituted or polysubstituted or unsubstituted, natural or unnatural α-amino acid residue or α-imino acid residue in the D configuration;

P2 is a monosubstituted or polysubstituted natural or unnatural α-amino acid residue or α-imino acid residue in the L configuration, wherein

(a) the substituent at substituted P2 is a substituted or unsubstituted, branched or linear aralkyl radical having 1-10 C atoms, or

(b) P2 is selected from Pro, Asp, Glu, hGlu, Dap, Dap(Z), Lys, Lys(Z), Arg, Thr, Thr(Bzl), Ser(Bzl), hSer(Bzl), Phe or hPhe; and

P1 is a monosubstituted or polysubstituted or unsubstituted 4-amidino- or 4-guanidinobenzylamide group;

wherein a linker group can additionally be coupled to P4 or P2, and when said linker is coupled to P4, P2 is glycine, alanine, proline, homoproline or azetidinecarboxylic acid; and when said linker is coupled to P2, P2 is selected from Pro, Asp, Glu, Gln, hGlu, Dap, Dap(Z), Lys, Lys(Z), Arg, Thr, Thr(Bzl), Ser(Bzl), hSer(Bzl), Phe or hPhe; and

wherein said compound of formula I inhibits plasma kallikrein, factor XIa, and/or factor XIIa; and wherein

said substituent or substituent at the substituted P4, P3, and/or P1 is selected from

(a) a halogen, and/or

(b) a substituted or unsubstituted, branched or linear alkyl radical having 1-6 C atoms, or a substituted or unsubstituted, branched or linear aralkyl radical having 1-10 C atoms, and/or

(c) being a hydroxyl, amino, cyano, amidino, guanidino, methyloxycarbonyl, benzyl, benzyloxycarbonyl, aminomethyl or glutaryl or succinylamidomethyl group, and/or being an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group, where appropriate esterified with a lower alkyl radical or an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group which is present as unsubstituted amide or amide which is substituted by an alkyl or aryl group.

47. (Previously presented) The method as claimed in claim 46 for inhibiting plasma kallikrein.

48. (Canceled).

49. (Withdrawn) The method as claimed in claim 46, wherein a linker group is additionally coupled to P4 or P2, with the linker group being coupled to P4 by way of a substituent as defined in claim 3 or coupled directly to a functional group of P2.

50. (Withdrawn) The method as claimed in claim 49, wherein the linker group together with the substituent for coupling to P4 exhibits the general formula II

U-Z-Y-X- (II)

where

U is an H_2N-, HOOC-$(CH_2)_n$-CO-NH-, HOOC-, H_2N-$(CH_2)_n$-NH-CO- or HS- group, with Z being -$(CH_2)_n$-, in which n = 1 to 10, or Z being an oligo- or polyalkylene glycol of the general formula -$(CH_2)_d$-[O-CH_2-CH_2]$_v$O-$(CH_2)_m$-(NH-CO-CH_2-O-CH_2)$_k$- or -$(CH_2)_d$-[O-CH(CH_3)-CH_2]$_v$-O-$(CH_2)_m$-(NH-CO-CH_2-O-CH_2)$_k$- in which d = 1, 2, 3 or 4, v = an integer of from 1 to 1000, m = 0, 1, 2, 3 or 4 and k = 0 or 1 or

U is a CH_3-O- group with Z being an oligo- or polyalkylene glycol of the general formula -$(CH_2)_d$-[O-CH_2-CH_2]$_v$O-$(CH_2)_m$-(NH-CO-CH_2-O-CH_2)$_k$- or -$(CH_2)_d$-[O-CH(CH_3)-CH_2]$_v$-O-$(CH_2)_m$-(NH-CO-CH_2-O-CH_2)$_k$- in which d = 1, 2, 3 or 4, v = an integer of from 1 to 1000, m = 0, 1, 2, 3 or 4 and k = 0 or 1;

Y is a -CO-NH- group, a -NH-CO- group, a -SO_2-NH- group, a -NH-SO_2- group, a -S-S- group or a -S- group, or, if U and Z are not present, is a -H_2N- group, HOOC- group, HS- group, HO- group or halogenoalkyl group;

X is a -$(CH_2)_n$- group in which n = 0, 1, 2, 3 or 4, in particular n = 1, or is a -$(CH_2)_n$-O- group having a bond to the benzyl radical by way of the oxygen and n = 1, 2, 3 or 4;

and the coupling of the linker group to the phenyl ring of the benzyl radical proceeds from X, if present, or from Y if X is not present.

51. (Withdrawn) The method as claimed in claim 49, characterized in that, if the linker group is coupled to P4, P2 is glycine, alanine, serine, proline, homoproline or azetidinecarboxylic acid.

52. (Withdrawn) The method as claimed in claim 49, characterized in that the linker group is coupled to P2, with P2 exhibiting the general formula III

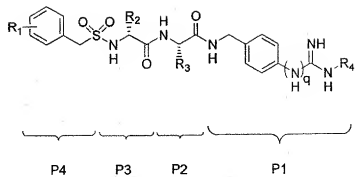
(III)

where q = 0, 1, 2, 3, 4 or 5 and D is formula IV

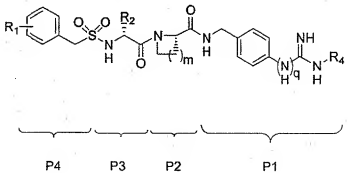
U-Z-Y- (IV)

where U, Z and Y have the same meaning as in formula II in accordance with claim 50.

53. (Withdrawn-previously presented) The method as claimed in claim 46, wherein the acylated amidino- or guanidinobenzylamide exhibits the general formula V or VI



(V)



(VI)

in which m = 1 to 3 and q = 0 or 1,

where R_1, R_2, and/or R_4 is

(a) hydrogen, and/or

(b) a halogen, and/or

(c) a substituted or unsubstituted, branched or linear alkyl radical having 1-6 C atoms, and/or

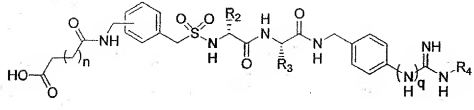
(d) a hydroxyl, amino, cyano, amidino, guanidino, methyloxycarbonyl, benzyl, benzyloxycarbonyl, aminomethyl or glutaryl or succinylamidomethyl group,

and/or an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group, where appropriate esterified with a lower alkyl radical, or an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group which is present as unsubstituted amide or amide which is substituted by an alkyl or aryl group, and/or

R_1 and/or R_3 can be a linker group, with the linker group being coupled to P4 by way of a substituent as defined in claim 48 or coupled directly to a functional group of P2, and/or

R_1 exhibits the formula (II) as defined in claim 50 and P2 together with R_3 exhibits the formulae (III) and (IV) as defined in claim 52.

54. (Withdrawn) The method as claimed in claim 46, wherein a compound according to the general formula I having a linker group at P4 in accordance with the formula II, as defined in claim 50, as selected from the group consisting of:



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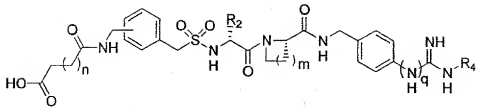

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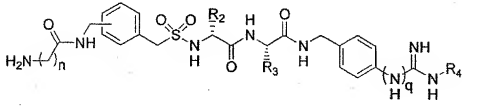
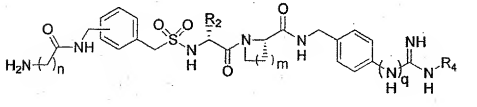


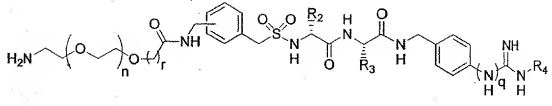
, and



in which n = 1 to 10, m = 1 to 3 and q = 0 or 1, where R_2, R_3 and R_4 have the meanings given in claim 53.

55. (Withdrawn) The method as claimed in claim 46, wherein a compound in accordance with the general formula I having a linker group at P4 in accordance

with the general formula II, as defined in claim 50, is selected from the group consisting of:



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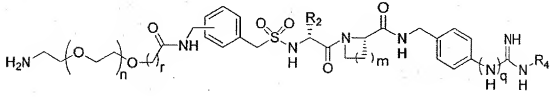

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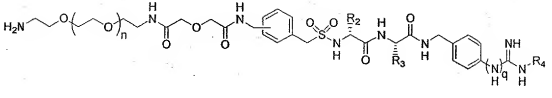

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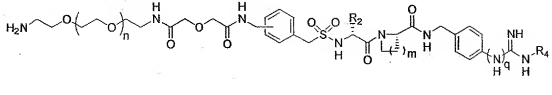
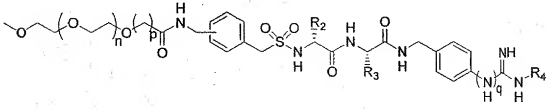


in which n = 1 to 1000, m = 1 to 3, r = 0 to 3 and q = 0 or 1, where R_2, R_3 and R_4 have the meanings given in claim 53.

56. (Withdrawn) The method as claimed in claim 46, wherein a compound in accordance with the general formula I having a linker group at P4 in accordance with the general formula II, as defined in claim 50, is selected from the group consisting of:



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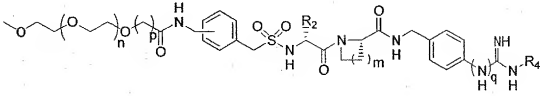

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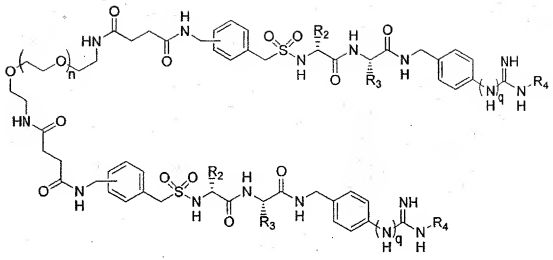

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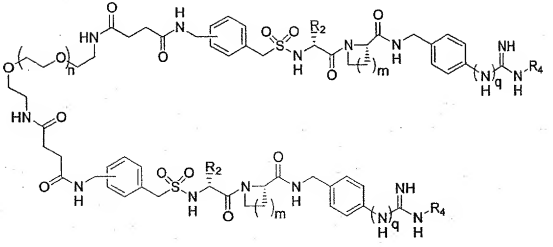

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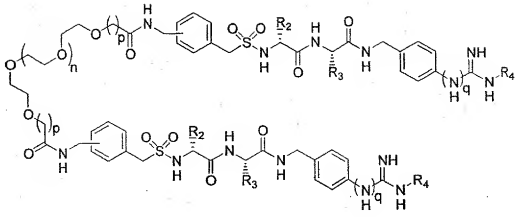

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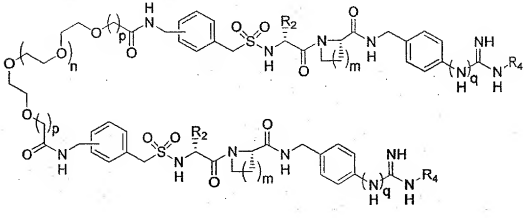
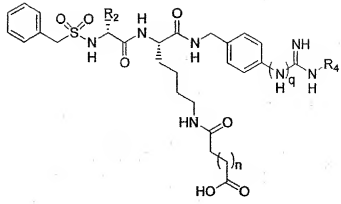


in which p = 0, 1, 2 or 3, q = 0 or 1, n = 1 to 1000 and m = 1 to 3, where R_2, R_3 and R_4 have the meanings given in claim 53.

57. (Withdrawn) The method as claimed in claim 46, wherein a compound in accordance with the general formula I having a linker group at P2 in accordance with the general formulae III and IV, as defined in claim 52, is selected from the group consisting of:



in which n = 0 to 5,

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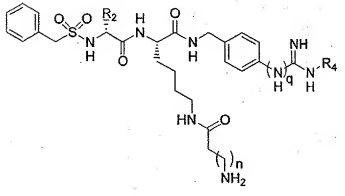

in which n = 0 to 11,

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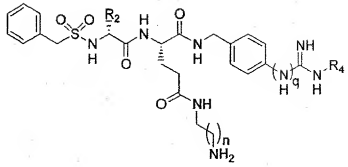

in which n = 1 to 6

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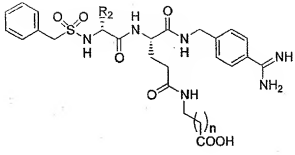

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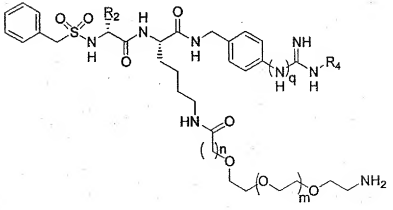

in which n = 0 to 3 and m = 0 to 1000

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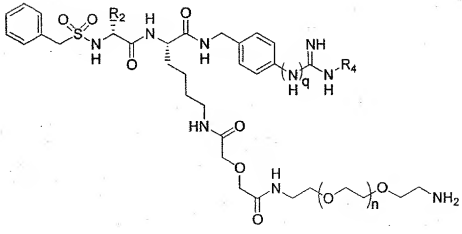
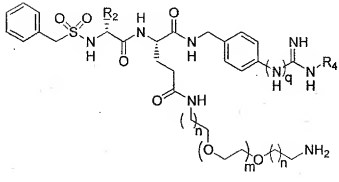


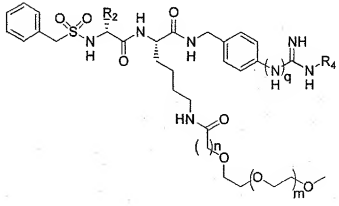
in which n = 1 to 1000

, and



in which n = 1 to 3 and m = 1 to 1000, where q is in each case 0 or 1, and R_2 and R_4 in each case have the meanings given in claim 53.

58. (Withdrawn) The method as claimed in claim 46, wherein a compound in accordance with the general formula I having a linker group at P2 in accordance with the general formulae III and IV, as defined in claim 52, is selected from the group consisting of:



in which n = 0 to 4 and m = 10 to 1000

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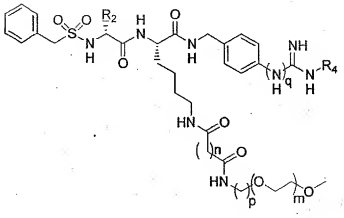
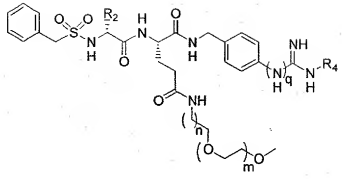
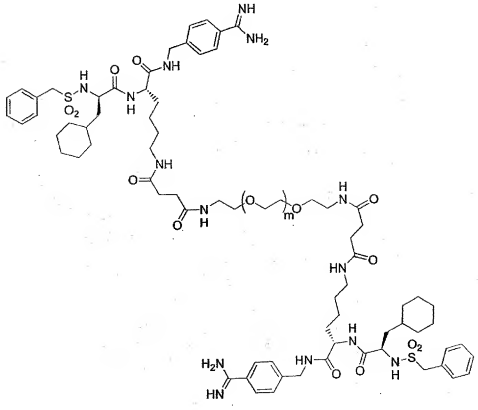


in which n = 1 to 4, p = 2 to 4 and m = 1 to 1000





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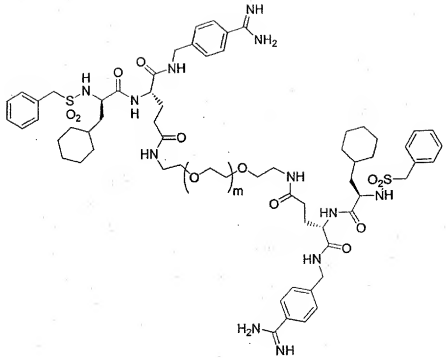
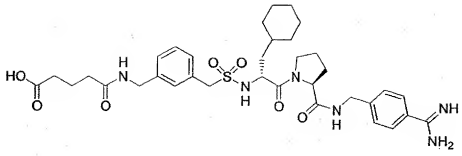


in which n = 1 to 3 and m = 10 to 1000,

where q is 0 or 1, and R_2 and R_4 in each case have the meanings given in claim 53.

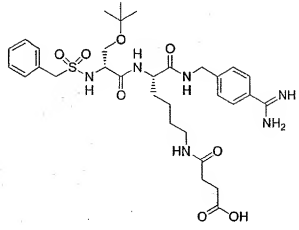
59. (Withdrawn) The method as claimed in claim 46, wherein a coupling to a synthetic surface being effected by way of P2, characterized in that the substituent at P4 is H, a halogen, an amino group, a hydroxyl group or a linear or branched alkyl group having from 1 to 6 carbon atoms.

60. (Withdrawn) The method as claimed in claim 49, wherein a compound in accordance with the general formula I having a linker group at P4 in accordance with the general formula II, as defined in claim 50, exhibits the following structure



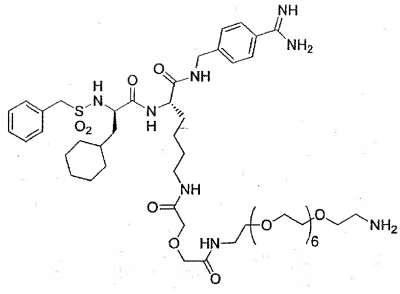
where D-Cha in position P3 can be D-Phe or D-Ser(tBu), and glutaryl at P4 can be succinyl.

61. (Withdrawn) The method as claimed in claim 46, wherein a compound in accordance with the general formula I exhibits the following structure:

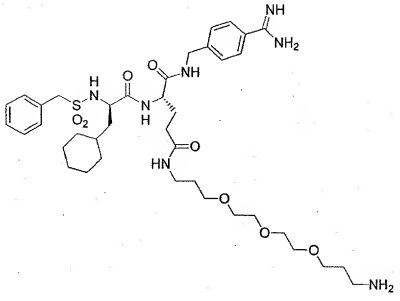


where D-Ser(tBu) in position 3 can be D-Cha or D-Phe, and succinyl at P2 can be glutaryl.

62. (Withdrawn) The method as claimed in claim 46, wherein a compound in accordance with the general formula I is selected from the group consisting of:



, and



where D-Cha in position P3 can be D-Phe or D-Ser(tBu).

63. (Withdrawn-previously presented) The method as claimed in claim 46, wherein in the general formula I, P4 carries a radical R at the aromatic radical, P3 is D-Ser, D-Ser(tBu), D-Phe or D-Cha and P2 is a natural or unnatural amino acid Aaa, where R is H-, 4-, 3- or 2-COOH, 4-, 3- or 2-COOMe, 4-, 3- or 2-AMe, 4-, 3- or 2-glutaryl-AMe or 4-, 3- or 2-CN, and Aaa is Pro, Asp, Glu, hGlu, Dap, Dap(Z), Lys, Lys(Z), Arg, Thr, Thr(Bzl), Ser(Bzl), hSer, hSer(BzI), Phe or hPhe.

64. (Withdrawn-previously presented) The method as claimed in claim 63, characterized in that, when P3 is D-Ser, Aaa is Dap, Dap(Z), Lys, Lys(Z), Ser(Bzl), hSer, Phe or hPhe, and R is H;

or, when P3 is D-Ser(tBu), Aaa is Pro, Gln, Dap, Dap(Z), Lys, Lys(Z), Arg, Thr, Thr(Bzl), Ser(Bzl), hSer(Bzl), Phe or hPhe, and R is H or, when Aaa is Pro, R is CN-;

or, when P3 is D-Cha, Aaa is Lys or Glu and R is H, or when Aaa is Pro, R is glutaryl-AMe, or when Aaa is -NH-CH-[CH_2-CH_2-CO-NH-$(CH_2)_3$-[O-$(CH_2)_2$]$_3$-CH_2-NH_2]-CO-, R is H.

65. (Withdrawn) The method as claimed in claim 46, wherein the acylated 4-amidino- or 4-guanidinobenzylamine is present in the form of a salt, or of a suitable organic acid.

66. (Withdrawn) The method as claimed in claim 46, wherein an H_2N group of a linker group which is coupled to the acylated 4-amidino- or 4-guanidinobenzylamine can be reacted with a dicarboxylic anhydride, with the formation of an HOOC group, or in that an HOOC group of a linker group which is coupled to the acylated 4-

amidino- or 4-guanidinobenzylamine can be reacted with a diamine with the retention of an H_2N group.

67. (Withdrawn) The method as claimed in claim 46, wherein the linker group which is coupled covalently to P4 or P2 is, in the presence of a second functional group, a substituted or unsubstituted amino, carboxyl and/or mercapto group, covalently coupled to a synthetic surface or, if the linker group is an oligo- or polyalkylene glycol, covalently coupled to a second molecule of the formula I.

68. (Withdrawn) The method as claimed in claim 46, wherein the linker group which is coupled covalently to P4 or P2 is an oligo- or polyalkylene glycol which can be modified, at the end which is not coupled to P4 or P2, with an alkyl group having 1-4 C atoms, or with a second molecule of the formula I, with the linker group being able to be coupled noncovalently to a synthetic surface by means of interaction with it.

69. (Withdrawn) The method as claimed in claim 67 or 68, wherein the synthetic surface is composed of a compound selected from the group consisting of cellulose diacetate, cellulose triacetate, poly(ether sulfone), poly(aryl ether sulfone), regenerated cellulose, cuprophan, hemophan, poly(sulfone), poly(acrylonitrile), poly(vinyl alcohol), poly(carbonate), poly(amide), poly(methyl methacrylate), poly(ethylene-co-vinyl alcohol), and another material which is used in appliances, and/or the hose systems and/or air traps which belong to the appliances, for the surfaces which come into contact with blood.

70. (Previously presented) The method as claimed in claim 46 for preventing blood coagulation at synthetic surfaces.

71. (Withdrawn) The method as claimed in claim 70 for preventing blood coagulation at synthetic surfaces by means of covalently or noncovalently coating the synthetic surface(s) by way of a linker group as defined in claim 49.

72. (Withdrawn) The method as claimed in claim 46 for preventing and/or treating cardiac infarction, cerebral stroke, embolisms, deep leg vein thromboses, e.g. following hip joint operations and/or knee joint replacement, unstable angina or complications as a consequence of angioplasty, in particular percutaneous transluminal coronary angioplasty (PTCA).

73. (Withdrawn) The method of claim 46 for preventing or treating disseminated intravascular coagulation, septic shock, allergies, the postgastrectomy syndrome, arthritis and ARDS (adult respiratory distress syndrome).

74. (Previously presented) The method of claim 46 for inhibiting plasma kallikrein and/or factor XIIa and/or factor XIa in parenteral use form or in enteral use form.

75. (Withdrawn) An acylated amidinobenzylamine of the general formula V or VI, as defined in claim 53, in which R_1 and R_3 are not an oligo- or polyalkylene group, as an anticoagulant and/or antithrombotic agent, in the form of a prodrug for oral administration.

76. (Withdrawn) A method of inhibiting trypsin-like serine proteases, said method comprising administering to a patient the acylated amidino- or guanidinobenzylamine as defined in claim 46.

77. (Withdrawn) An acylated 4-amidino- or 4-guanidinobenzylamine in accordance with the general formula I

P4-P3-P2-P1 (I),

where P4 is a monosubstituted or polysubstituted or unsubstituted benzylsulfonyl group, P3 is a monosubstituted or polysubstituted or unsubstituted, natural or unnatural α-amino acid residue or α-imino acid residue in the D configuration, P2 is a monosubstituted or polysubstituted or unsubstituted natural or unnatural α-amino acid residue or α-imino acid residue in the L configuration, and P1 is a monosubstituted or polysubstituted or unsubstituted 4-amidino- or 4-guanidinobenzylamide group,
wherein a linker group is coupled to P4 or P2, with the linker group being coupled to P4 by way of a substituent as defined in claim 48 or directly coupled to a functional group of P2.

78. (Withdrawn) The acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 77, wherein the linker group at P4 or P2 is an oligo- or polyalkylene glycol chain.

79. (Withdrawn) The acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 77, characterized in that it exhibits the general formula V or VI as defined in claim 53.

80. (Withdrawn) The acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 77, characterized in that it exhibits a linker group at P4 and exhibits a structure as defined in claim 54, 55, 56 or 60.

81. (Withdrawn) The acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 33, characterized in that it exhibits a linker group at P2 and exhibits a structure as defined in claim 57, 68 or 62.

82. (Withdrawn) An acylated 4-amidino- or 4-guanidinobenzylamine in accordance with the general formula I

P4-P3-P2-P1 (I),

where P1, P2, P3 and P4 have the meanings given in claim 46, wherein the acylated 4-amidino- or 4-guanidinobenzylamine is bound covalently or noncovalently to a synthetic surface.

83. (Withdrawn) The acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 82, wherein the acylated 4-amidino- or 4-guanidinobenzylamine is covalently bound to the synthetic surface by way of an amide or sulfonamide bond, a disulfide bridge or the alkylation of a mercapto group.

84. (Withdrawn) The acylated 4-amidino- or 4-guanidinobenzylamine as claimed in claim 82, wherein the acylated 4-amidino- or 4-guanidinobenzylamine is noncovalently bound to the synthetic surface by way of interactions of an oligo- or polyalkylene glycol group.

85. (Withdrawn) A synthetic surface, characterized in that the surface is covalently or noncovalently coated with acylated 4-amidino- or 4-guanidinobenzylamine as

claimed in claim 77 or with acylated 4-amidino- or 4-guanidinobenzylamine as defined in claim 46.

86. (Withdrawn) An appliance which comprises a synthetic surface as claimed in claim 85.

87. (Withdrawn) An appliance as claimed in claim 86, wherein the appliance is selected from the group consisting of a dialyzer, an oxygenator, a catheter or a membrane.

88. (Withdrawn) The method as claimed in claim 69, wherein with the surface material is modified for the covalent coupling of the molecule of the formula I by way of the linker group coupled to P4 or P2, with functional groups.

89. (Withdrawn) The method as claimed in claim 46, wherein the acylated amidino- or guanidinobenzylamine is for inhibiting other trypsin-like serine proteases or trypsin-like serine proteases of the complement system.

90. (Previously presented) The method of claim 74, wherein said parenteral form is in intraarterial, intravenous, intramuscular or subcutaneous form.

91. (Withdrawn) The method as claimed in claim 46, wherein the substituent at substituted P2 is a substituted or unsubstituted, branched or linear aralkyl radical having 1-10 C atoms.

92. (New) A method of inhibiting plasma kallikrein and/or factor XIa and/or factor XIIa, said method comprising administering to a patient in need thereof an acylated 4-amidino- or 4-guanidinobenzylamine according to the general formula I

P4-P3-P2-P1 (I),

where

P4 is a monosubstituted or polysubstituted or unsubstituted benzylsulfonyl group;

P3 is a monosubstituted or polysubstituted or unsubstituted, natural or unnatural α-amino acid residue or α-imino acid residue in the D configuration;

P2 is a monosubstituted or polysubstituted natural or unnatural α-amino acid residue or α-imino acid residue in the L configuration, wherein

(a) the substituent at substituted P2 is a substituted or unsubstituted, branched or linear aralkyl radical having 1-10 C atoms, or

(b) P2 is selected from Asp, Glu, hGlu, Dap, Dap(Z), Lys, Lys(Z), Arg, Thr, Thr(Bzl), Ser(Bzl), hSer(Bzl), Phe or hPhe; and

P1 is a monosubstituted or polysubstituted or unsubstituted 4-amidino- or 4-guanidinobenzylamide group;

wherein a linker group can additionally be coupled to P4 or P2, and when said linker is coupled to P4, P2 is glycine, alanine, proline, homoproline or azetidinecarboxylic acid; and when said linker is coupled to P2, P2 is selected from Pro, Asp, Glu, Gln, hGlu, Dap, Dap(Z), Lys, Lys(Z), Arg, Thr, Thr(Bzl), Ser(Bzl), hSer(Bzl), Phe or hPhe; and

wherein said compound of formula I inhibits plasma kallikrein, factor XIa, and/or factor XIIa; and wherein

said substituent or substituent at the substituted P4, P3, and/or P1 is selected from

(a) a halogen, and/or

(b) a substituted or unsubstituted, branched or linear alkyl radical having 1-6 C atoms, or a substituted or unsubstituted, branched or linear aralkyl radical having 1-10 C atoms, and/or

(c) being a hydroxyl, amino, cyano, amidino, guanidino, methyloxycarbonyl, benzyl, benzyloxycarbonyl, aminomethyl or glutaryl or succinylamidomethyl group, and/or being an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group, where appropriate esterified with a lower alkyl radical or an oxyalkylcarbonyl, carboxyl, carboxymethyl or carboxyethyl group which is present as unsubstituted amide or amide which is substituted by an alkyl or aryl group.

93. (New) The method as claimed in claim 92 for inhibiting plasma kallikrein.

94. (New) The method as claimed in claim 92 for preventing blood coagulation at synthetic surfaces.

95. (New) The method of claim 92 for inhibiting plasma kallikrein and/or factor XIIa and/or factor XIa in parenteral use form or in enteral use form.

96. (New) The method of claim 95, wherein said parenteral form is in intraarterial, intravenous, intramuscular or subcutaneous form.